

Claims

1. Paroxetine methanesulfonate.
- 5 2. A compound according to claim 1 in non-crystalline form.
3. A compound according to claim 1 in crystalline form.
- 10 4. A compound according to claim 3 having *inter alia* the following characteristic IR peaks: 1603, 1513, 1194, 1045, 946, 830, 776, 601, 554, and 539 ± 4 cm⁻¹; and/or the following characteristic XRD peaks: 8.3, 10.5, 15.6, 16.3, 17.7, 18.2, 19.8, 20.4, 21.5, 22.0, 22.4, 23.8, 24.4, 25.0, 25.3, 25.8, 26.6, 30.0, 30.2, and 31.6 ± 0.2 degrees 2 theta.
- 15 5. A process for the preparation of a compound as claimed in claim 1 or 2 by precipitation from a solution of a paroxetine methanesulfonate, spray drying or freeze drying a solution of a paroxetine methanesulfonate, evaporating a solution of a paroxetine methanesulfonate to a glass, or by vacuum drying of
- 20 oils of a paroxetine methanesulfonate, or solidification of melts of a paroxetine methanesulfonate.
6. A process for the preparation of a compound as claimed in claim 3 or 4 by crystallization or re-crystallization from a solution of a paroxetine
- 25 methanesulfonate in a solvent.
7. A process according to claim 5 or 6 in which the solution, oil or melt of a paroxetine methanesulfonate is prepared by chemical modification of a precursor paroxetine methanesulfonate salt.
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8. A process according to claim 5 or 6 in which the solution, oil or melt of a paroxetine methanesulfonate is prepared by treating paroxetine free base or a labile derivative thereof with methanesulfonic acid or a labile derivative thereof.
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9. A process according to claim 8 in which the paroxetine free base or a labile derivative thereof is provided *in situ* from a preceding reaction step in which the paroxetine free base, or a labile derivative thereof, has been formed.
- 10 10. A process according to claim 8 or 9 in which the labile derivative of paroxetine free base is an organic acid salt thereof and the labile derivative of methanesulfonic acid is an ammonium or amine salt thereof.
11. A process according to claim 5 or 6 in which the solution, oil or melt of a paroxetine methanesulfonate is prepared by deprotecting an acid-labile protected paroxetine precursor with methanesulfonic acid.
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12. A process according to any one of claims 6 to 11 in which the solvent comprises an aromatic hydrocarbon, water, an alcohol, an ester, a ketone, an amide, a heterocyclic amine, a halogenated hydrocarbon, a nitrile, an ether or a mixture thereof.
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13. A process according to claim 12 in which the solvent comprises toluene, an alcohol, an ester, a ketone, a halogenated hydrocarbon, a nitrile, or an ether, optionally in admixture with water, an ether, or a lower alcohol, or mixtures thereof.
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14. A process according to any one of claims 6 to 13 in which the solvent forms an azeotrope with water and prior to isolation of the product water is removed by azeotropic distillation.
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15. A process according to any one of claims 6 to 14 in which the crystallisation is promoted by inclusion of an anti-solvent to the solvent.
16. A process according to claim 15 in which the anti-solvent is an ether or hexane.
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17. A process according to any one of claims 6 to 16 in which the crystallisation is conducted at elevated temperature followed by controlled cooling.
18. A process according to any one of claims 6 to 17 in which crystallisation is induced by the addition of a seed crystal.
19. A process according to any one of claims 6 to 17 in which crystallisation is conducted without the addition of a seed crystal.
- 20
20. A pharmaceutical composition comprising a compound according to any one of claims 1 to 4 and a pharmaceutically acceptable carrier.
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21. A composition according to claim 20 in which the carrier comprises a disintegrant.

22. A composition according to claim 20/or 21 in which the carrier comprises a binder.
- 5 23. A composition according to any one of claims 20 to 22 in which the carrier comprises a colouring agent.
24. A composition according to any one of claims 20 to 23 in which the carrier comprises a flavouring agent.
- 10 25. A composition according to any one of claims 20 to 24 in which the carrier comprises a preservative.
- 15 26. A composition according to any one of claims 20 to 25 adapted for oral administration.
27. A composition according to claim 26 which is a tablet or capsule.
28. A composition according to claim 27 which is a modified oval shaped tablet.
- 20 29. A composition according to any one of claims 20 to 28 comprising 1 to 200mg of active ingredient, calculated on a free base basis.
- 25 30. Use of a compound according to any one of claims 1 to 4 in the manufacture of a medicament for use in the treatment and/or prevention of any one or more of the Disorders.
- 30 31. A method for treating and/or preventing any one or more of the Disorders by administering an effective and/or prophylactic amount of a compound according to any one of claims 1 to 4 to a sufferer in need thereof.
32. A 1:1 solvate of paroxetine methanesulfonate with acetonitrile.

33. Use of paroxetine methanesulfonate as an intermediate in the preparation of the hydrochloride.
- 5 34. A process for preparing paroxetine hydrochloride by converting paroxetine methanesulfonate.
35. A pack containing a pharmaceutical composition according to any one of claims 20 to 29.
- 10 36. A compound according to claim 3 substantially as hereinbefore described in Example 2.
37. A compound according to claim 3/or 32 substantially as hereinbefore
15 described in any one of Examples 3 to 50.
38. A process according to claim 34 substantially as hereinbefore described in any one of Examples 51 to 53.
- 20 39. A composition according to claim 20 substantially as hereinbefore described in Example 54 or 55.

add A1

add B1
add C1